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<b>(21) International Application Number:</b> PCT/US00/04084 <b>(22) International Filing Date:</b> 17 February 2000 (17.02.00)  <b>(30) Priority Data:</b> 9903924.0 19 February 1999 (19.02.99) EP  <b>(71) Applicant (for all designated States except US):</b> THE PROCTER & GAMBLE COMPANY [US/US]; One Procter & Gamble Plaza, Cincinnati, OH 45202 (US).  <b>(72) Inventors; and</b> <b>(75) Inventors/Applicants (for US only):</b> BELL, Michael, David [GB/GB]; 61 Masefield Gardens, Crowthorne, Berkshire RG45 7QS (GB). ROLLS, Richard, George, Albert [CA/GB]; 4 Bison Court 30 Hounslow Road, Feltham, TW14 9DD (GB). ELLIOTT, Russell, Philip [GB/GB]; 14 Nobles Way, Egham, Surrey TW20 9RJ (GB).  <b>(74) Agents:</b> REED, T., David et al.; The Procter & Gamble Company, 5299 Spring Grove Avenue, Cincinnati, OH 45217-1087 (US).		<b>(81) Designated States:</b> AE, AL, AM, AT, AT (Utility model), AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ (Utility model), DE, DE (Utility model), DK, DK (Utility model), DM, EE, EE (Utility model), ES, FI, FI (Utility model), GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK (Utility model), SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).  <b>Published</b> <i>With international search report. Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</i>
<b>(54) Title:</b> COSMETIC COMPOSITIONS  <b>(57) Abstract</b>  A leave-on cosmetic composition suitable for topical application to the skin comprising: a) from about 1 % to about 20 % of a Vitamin B <sub>3</sub> compound, or mixtures thereof and; b) a cation containing polymer selected from ampholytic, amphoteric, basic, zwitterionic and cationic polymers or mixtures thereof, wherein the cationic polymers are selected from cationic polysaccharides or derivatives thereof, cationic homo or copolymers of dimethyldiallylammonium chloride, cationic copolymers comprising vinylpyrrolidone; cationic copolymers comprising acrylic acid or derivatives thereof, N,N,N-trimethyl-2-[(2-methyl-1-oxo-2-propenyl)oxy]-, chloride, Polyquaternium-2, quaternised chitosan, or mixtures thereof; wherein said composition has a weight ratio of cation containing polymer to Vitamin B <sub>3</sub> compound of from 1:7 to 1:100. The compositions of the invention display reduced irritation, tack and skin whitening effects.		

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## Cosmetic Compositions

### Technical Field

The present invention relates to cosmetic compositions. In particular it relates to cosmetic compositions comprising higher levels of Vitamin B<sub>3</sub> compounds with reduced irritation,  
5 tack and skin whitening effects.

### Background of the Invention

A variety of compounds have been described in the art as being useful for regulating fine lines, wrinkles and other forms of undesirable skin surface texture. In addition, Vitamin B<sub>3</sub> compounds, particularly niacinamide, have recently been found to provide measurable  
10 benefits in regulating skin condition, including regulating fine lines, wrinkles and other forms of uneven or rough surface texture associated with aged or photodamaged skin. However, many materials require multiple applications over an extended period to provide such appearance benefits. It would be additionally advantageous to provide a topical composition which provides a more immediate improvement in the appearance of  
15 fine lines, wrinkles and other forms of undesirable skin surface texture, and also to effect an immediate improvement in the feel of the skin.

One means of effecting a more immediate improvement on skin surface texture and feel would be to deliver high levels of Vitamin B<sub>3</sub> compounds, particularly niacinamide, from a topical composition. However, the delivery of high levels of niacinamide (greater than  
20 1%) to the skin is complicated by niacinamide crystallisation at these levels on the skin surface. This is undesirable for the consumer who may observe skin whitening, an

increase in the stickiness of the product residue and experience irritation effects from localised concentrations of niacinamide crystals.

Polymeric film forming agents such as polyquaternium-10 are described in US-A-5,103,763 as skin-feel-modifying compounds useful for skin care compositions which are resistant to removal when the skin is washed. In addition, US-A-4,938,951 describes compositions comprising evaporative solvents, polymeric film forming agents, and topically active agents. The compositions are described as providing an enhancement in the effective activity of a topically active agent and specifically, that the film forming polymer has an ability to enhance the effective activity of the topically active agent. The term "topically active agent" is said to include vitamins and example 47 discloses a composition comprising water, ascorbic acid (0.5%) and JR-400 (polyquaternium-10) (0.1%) in a ratio of polymer to agent of 0.2:1. The ratio of film forming agent to topically active agent in compositions therein is described as generally being from 0.5:1 to 20:1 and preferably from 1:1 or 2:1 to 4:1. Such high ratios of film forming agent to topically active agent taught in this document are likely to produce high viscosity product residues which are undesirable from a consumer perspective.

In addition, WO97/39733 describes a method of regulating visible or tactile discontinuities in the texture of skin using a composition comprising a safe and effective amount of a vitamin B3 compound and a carrier for the active. Optional ingredients for the compositions described therein are crosslinked and non-crosslinked nonionic and cationic polyacrylamides e.g. polyquaternium-32 and polyquaternium-37 and film forming polymers such as the copolymer of eicosene and vinyl pyrrolidone.

Whilst the compositions and disclosures of the prior art provide useful advances in the art of cosmetic skin treatment, they do not adequately teach means to reduce the irritation, skin whitening and tack displayed by compositions comprising higher levels of Vitamin B3 compounds.

It has now been found that by incorporating selected cation containing polymers into a leave-on cosmetic composition comprising high levels of a Vitamin B3 compound at specific ratios, the sticky feel usually associated with higher levels of the latter compound can be offset and further, a composition is provided with lower levels of irritation and

whitening. Without being limited by theory, it is thought that the incorporation of cation containing polymers into the composition at the specified ratios herein reduces the rate and pattern of this crystallisation leading to a more homogenous coverage of the skin surface with niacinamide crystalline material. The cationic groups on the polymer are thought to bind to the crystal surfaces as they form, thereby slowing further growth and reducing the whitening and tack effects.

#### Summary of the Invention

According to one aspect of the present invention there is provided a leave-on cosmetic composition suitable for topical application to the skin comprising:

- a) from about 1% to about 20% of a Vitamin B<sub>3</sub> compound, or mixtures thereof and;
- b) a cation containing polymer selected from ampholytic, amphoteric, basic, zwitterionic and cationic polymers or mixtures thereof, wherein the cationic polymers are selected from cationic polysaccharides or derivatives thereof, cationic homo or copolymers of dimethyldiallylammonium chloride, cationic copolymers comprising vinylpyrrolidone; cationic copolymers comprising acrylic acid or derivatives thereof, N,N,N-trimethyl-2-[(2-methyl-1-oxo-2-propenyl)oxy]-,chloride, Polyquaternium-2, quaternised chitosan, or mixtures thereof;

wherein said composition has a weight ratio of cation containing polymer to Vitamin B<sub>3</sub> compound of from 1:7 to 1:100.

- 10 The compositions of the invention display reduced irritation, tack and skin whitening effects.

According to a second aspect of the present invention there is provided a cosmetic method of treatment of the skin comprising applying to the skin a composition according to the present invention.

- 15 According to a third aspect of the present invention there is provided a use of a cation containing polymer, or mixtures thereof, for reducing levels of tack, irritation or skin

whitening in a skin care composition comprising a Vitamin B<sub>3</sub> compound, or mixtures thereof.

According to a fourth aspect of the present invention there is provided a use of a composition comprising a Vitamin B<sub>3</sub> compound, or mixtures thereof and a cation containing polymer, or mixtures thereof wherein said composition has a weight ratio of  
5 cation containing polymer to Vitamin B<sub>3</sub> compound of from 1:7 to 1:100 for a leave-on skin care application.

#### Detailed Description of the Invention

The compositions of the present invention comprise a Vitamin B<sub>3</sub> or mixtures thereof, with an essential specified cation containing polymer component, or mixtures thereof, wherein said composition has a weight ratio of cation containing polymer to vitamin B<sub>3</sub> compound of from 1:7 to 1:100, as well as various optional ingredients as indicated below. All levels and ratios are by weight of total composition, unless otherwise indicated. Chain length and degrees of ethoxylation are also specified on a weight average basis.

The term "tack" or "tackiness", as used herein, in relation to a leave-on composition  
10 means the ability of a composition to lightly bond to skin surfaces where the composition has been applied, upon the application of light pressure and within a short time-scale.

The term "stickiness" or "sticky" as used herein, is a term often used by consumers to describe their perception of the tack, either actual or perceived of a composition.

As used herein the term "leave-on" in relation to skin care compositions means that it  
15 intended to be used without a rinsing step, such that after applying the composition to the skin, the leave-on composition is preferably left on the skin for a period of at least about 15 minutes, more preferably at least about 30 minutes, even more preferably at least about 1 hour, most preferably for at least several hours, e.g., up to about 12 hours.

The term "cation containing polymer" as used herein, means a polymer having 2% or  
20 more, preferably 5% or more or more preferably 10% or more on a molar weight basis of monomers that contain cationic charge at pH 4.

The term "ampholytic" as used herein, means a polymer which comprises cationic and anionic monomers.

The term "copolymer" as used herein, means the combination of more than one chemically different monomer.

- 5 The term "zwitterionic" as used herein, means a compound which bears both positive and negative charges and exists as a dipolar ion in a wide range of pH.

The term "amphoteric" as used herein, means a compound which exhibits cationic behaviour at low pH and anionic behaviour at high pH. At intermediate pH, called the isoelectric point, the compound bears both positive and negative charges i.e. it is a dipolar  
10 ion.

The term "basic" as used herein, means a polymer which shows increasing cationic charge with decreasing pH.

The term "skin conditioning agent", as used herein means a material which is capable of providing a cosmetic conditioning benefit to the skin such as moisturization, humectancy  
15 (i.e. the ability to retain or hold water or moisture in the skin), emolliency, visual improvement of the skin surface, soothing of the skin, softening of the skin, and improvement in skin feel.

The term "non-occlusive" as used herein, means that the component as so described does not substantially or block the passage of air and moisture through the skin surface.

- 20 The present compositions can be used for any suitable purpose. In particular, the present compositions are suitable for topical application to the skin. In particular, the skin care compositions can be in the form of creams, lotions, gels, and the like. Preferably the cosmetic compositions herein are in the form of an emulsion of one or more oil phases in an aqueous continuous phase.

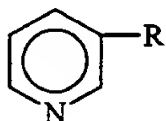
25 Vitamin B<sub>3</sub> Compounds

As an essential ingredient, the compositions of the present invention comprise at least one Vitamin B<sub>3</sub> compound.

The compositions of the present invention preferably comprise from about 1% to about 20%, preferably from about 4% to about 15%, , more preferably from about 7% to about

14% and even more preferably from about 10 to about 13% of a Vitamin B<sub>3</sub> compound, or mixtures thereof.

As used herein, "vitamin B<sub>3</sub> compound" means a compound having the formula:



- 5 wherein R is - CONH<sub>2</sub> (i.e., niacinamide), - COOH (i.e., nicotinic acid) or - CH<sub>2</sub>OH (i.e., nicotinyl alcohol); derivatives thereof; and salts of any of the foregoing.

Exemplary derivatives of the foregoing vitamin B<sub>3</sub> compounds include nicotinic acid esters, including non-vasodilating esters of nicotinic acid, nicotinyl amino acids, nicotinyl alcohol esters of carboxylic acids, nicotinic acid N-oxide and niacinamide N-oxide. As used herein, "non-vasodilating" means that the ester does not commonly yield a visible flushing response after application to the skin in the subject compositions (the majority of the general population would not experience a visible flushing response, although such compounds may cause vasodilation not visible to the naked eye, i.e., the ester is non-rubefacient). Non-vasodilating esters of nicotinic acid include tocopherol nicotinate and inositol hexanicotinate; tocopherol nicotinate is preferred.

15

Other derivatives of the vitamin B<sub>3</sub> compound are derivatives of niacinamide resulting from substitution of one or more of the amide group hydrogens. Examples of derivatives of niacinamide useful herein include nicotinyl amino acids, derived, for example, from the reaction of an activated nicotinic acid compound (e.g., nicotinic acid azide or nicotinyl chloride) with an amino acid, and nicotinyl alcohol esters of organic carboxylic acids (e.g., C1 - C18). Specific examples of such derivatives include nicotinuric acid (C<sub>8</sub>H<sub>8</sub>N<sub>2</sub>O<sub>3</sub>) and nicotinyl hydroxamic acid (C<sub>6</sub>H<sub>6</sub>N<sub>2</sub>O<sub>2</sub>). Exemplary nicotinyl alcohol esters include nicotinyl alcohol esters of the carboxylic acids salicylic acid, acetic acid, glycolic acid, and palmitic acid and the like. Other examples of vitamin B<sub>3</sub> compounds useful herein are 2-chloronicotinamide, 6-methylnicotinamide, N-methyl-nicotinamide, and niaprazine.

20

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Examples of the above vitamin B<sub>3</sub> compounds are well known in the art and are commercially available from a number of sources, e.g., the Sigma Chemical Company (St. Louis, MO); ICN Biomedicals, Inc. (Irvin, CA) and Aldrich Chemical Company (Milwaukee, WI).

- 5 One or more vitamin B<sub>3</sub> compounds may be used herein. Preferred vitamin B<sub>3</sub> compounds are niacinamide and tocopherol nicotinate. Niacinamide is more preferred.

Salts of the vitamin B<sub>3</sub> compound are also useful herein. Useful examples include organic or inorganic salts, such as inorganic salts with anionic inorganic species (e.g. chloride), and organic carboxylic acid salts. These and other salts of the vitamin B<sub>3</sub>  
10 compound can be readily prepared by the skilled artisan, for example, as described by W. Wenner, "The Reaction of L-Ascorbic and D-Isoascorbic Acid with Nicotinic Acid and Its Amide", J. Organic Chemistry, VOL. 14, 22-26 (1949), which is incorporated herein by reference. Wenner describes the synthesis of the ascorbic acid salt of niacinamide.

In a preferred embodiment, the ring nitrogen of the vitamin B<sub>3</sub> compound is  
15 uncomplexed, or after delivery to the skin becomes uncomplexed. More preferably, the vitamin B<sub>3</sub> compound is essentially uncomplexed. Therefore, if the composition contains the vitamin B<sub>3</sub> compound in a salt or otherwise complexed form, such complex is preferably substantially reversible upon delivery of the composition to the skin. Such complex should be substantially reversible at a pH of from about 5.0 to about 6.0. Such  
20 reversibility can be readily determined by one having ordinary skill in the art.

In a preferred embodiment, the vitamin B<sub>3</sub> compound typically contains less than about 50% of the compound in a salt form.

The vitamin B<sub>3</sub> compound may be included as the substantially pure material, or as an extract obtained by suitable physical and/or chemical isolation from natural (e.g., plant)  
25 sources. The vitamin B<sub>3</sub> compound is preferably substantially pure, by which is meant substantially free of impurities arising from the original source. Substantially pure compounds can be provided in solution, optionally with an anti-oxidant or other stabiliser.

### Cation Containing Polymer

As a further essential component, the compositions herein comprise a cation containing polymer as hereinbefore defined selected from ampholytic, amphoteric, basic, zwitterionic and cationic polymers or mixtures thereof, wherein the cationic polymers are selected from cationic polysaccharides or derivatives thereof, cationic homo or copolymers of dimethyldiallylammonium chloride, cationic copolymers comprising vinylpyrrolidone; cationic copolymers comprising acrylic acid or derivatives thereof, N,N,N-trimethyl-2-[(2-methyl-1-oxo-2-propenyl)oxy]-,chloride, Polyquaternium-2, quaternised chitosan, or mixtures thereof.

The compositions of the present invention preferably comprise from about 0.01% to about 20%, more preferably from about 0.05% to about 5%, and especially from about 0.1% to about 1% by weight of the cation containing polymer, or mixtures thereof.

- 5 Preferably, the cation containing polymers are water soluble, dispersible or swellable. By "water swellable" is meant the ability of the cation containing polymers to increase in volume or expand in aqueous solution.

Suitable cationic polymeric film forming materials for compositions herein are cationic polysaccharides or derivatives thereof, cationic homo or copolymers of  
10 dimethyldiallylammonium chloride, cationic copolymers comprising vinylpyrrolidone; cationic copolymers comprising acrylic acid or derivatives thereof, N,N,N-trimethyl-2-[(2-methyl-1-oxo-2-propenyl)oxy]-,chloride, Polyquaternium-2 and quaternised chitosan, or mixtures thereof. Preferred cationic polymeric film forming materials for compositions herein are cationic polysaccharides or derivatives thereof.

- 15 Suitable non-limiting examples of cationic polysaccharides include the following: cellulose; hydroxyalkylcelluloses e.g. hydroxyethyl cellulose; cationic cellulosic derivatives having a molecular weight in the range of from 120,000 to 2,000,000, involving quaternary ammonium groupings, such as, for example a polymeric quaternary ammonium salt of hydroxyethyl cellulose reacted with a trimethyl ammonium substituted  
20 epoxide, more specifically, cellulose  $\omega$ -ether modified with  $\alpha$ -[2-hydroxy-3-trimethylammonio)propyl]- $\omega$ -hydroxypoly(oxy-1, 2-ethanediyl)chloride, known in the

- industry under the (CTFA) trade designation Polyquaternium-10, commercially available from Union Carbide Corporation (Danbury, Conn., USA) as "JR" and "LR" e.g. "JR-125", "JR-400", "JR-30M", "LR-500", diallyl dimethyl ammonium chloride/hydroxyethyl cellulose copolymers, referred to in the industry (CTFA) as Polyquaternium-4, available
- 5 under the trade names "Celquat L 200" or "Celquat H-100" from the National Starch Company (Salisbury, NC, USA) and polymeric quaternary ammonium salts of hydroxyethyl cellulose reacted with a lauryl dimethyl ammonium substituted epoxide "Quatrisoft Polymer LM-200", referred to in the industry as Polyquaternium-24, available from Amerchol Corp. (Edison, NJ, USA); starches for example, cationic starches such as,
- 10 2-hydroxy-3-trimethyl ammonium chloride propyl ether of starch, commercially available under the trade name "Sta-Loc 300" and "Sta-Loc 400" from Staley Inc. (Decatur, Ill., USA) which has been reacted with quaternary amines to form ethers at a hydroxyl position, hydroxyalkylstarches, polymers based on arabinose monomers, polymers derived from xylose, polymers derived from fucose, polymers derived from fructose, polymers
- 15 based on acid-containing sugars such as galacturonic acid and glucuronic acid, polymers based on amine sugars such as galactosamine and glucosamine particularly acetylglucosamine, polymers based on 5 or 6 membered ring polyalcohols, polymers based on galactose, and polymers based on mannose monomers.
- Suitable cationic polysaccharide gum derivatives include derivatives of polymers based
- 20 on galactomannan copolymer known as guar gum. For example, compounds such as hydroxypropyl guar gums, commercially available under the trade name "Jaguar HP-60", "Jaguar HP-8", "Jaguar HP-79", "Jaguar HP-120", "Jaguar HP-200", from Rhône-Poulenc or "Galactasol" available from Aqualon; hydroxypropyl guar hydroxypropyltrimonium chloride commercially available under the trade name "Jaguar
- 25 C-162" from Rhône-Poulenc; or polymeric compounds such as guar hydroxypropyltrimonium chloride, commercially available for example under the trade name "Jaguar C-14S", "Jaguar C-17", "Jaguar C-13S" from Rhône-Poulenc, "N-Hance" e.g. "N-Hance 2196" from Aqualon, Hercules Inc., (Zwijndrecht, The Netherlands), or "Cosmedia Guar C-261" from Henkel Inc (Teaneck, NJ, USA).
- 30 Suitable cationic homo or copolymers of dimethyldiallylammonium chloride for use herein are poly(dimethyldiallylammonium chloride) commercially available under the

trade designation Polyquaternium-6 or trade names "Merquat 100" from Calgon (Pittsburg, PA, USA), "Agequat 400" from CPS Chemical Company (West Memphis, AR, USA), or "Mirapol 100" from Rhône-Poulenc; and the polymeric quaternary ammonium salt consisting of acrylamide and dimethyl diallyl ammonium chloride monomers commercially available under the trade designation Polyquaternium-7 or trade names "Merquat 550" from Calgon (Pittsburg, PA, USA).

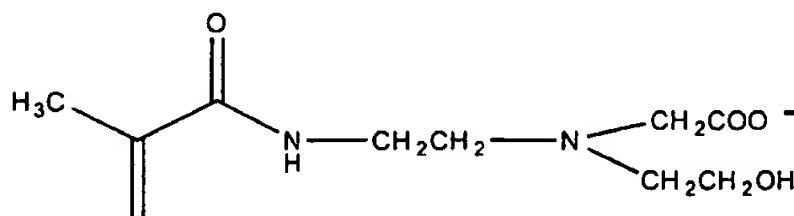
Suitable cationic copolymers comprising vinylpyrrolidone for use herein include the polyvinylpyrrolidone N,N-dimethyl aminoethyl methacrylic acid copolymer diethyl sulfate solution commercially available under the trade designation Polyquaternium-11 or trade name "Gafquat 755N" from ISP (Wayne, NJ, USA); the polymeric quaternary ammonium salt formed from methylvinylimidazolium chloride and vinylpyrrolidone commercially available under the trade designation Polyquaternium-16 or trade name "Luviquat FC 370" from BASF (Parsippany, NJ, USA); the vinylpyrrolidone/methacrylamidopropyltrimethylammonium chloride copolymer commercially available under the trade designation Polyquaternium-28 or trade name "Gafquat HS-100" from ISP (Wayne, NJ, USA); the polymeric quaternary ammonium salt consisting of vinylpyrrolidone and quaternised imidazoline monomers commercially available under the trade designation Polyquaternium-44 or trade name "Luviquat MS-370" from BASF (Parsippany, NJ, USA); and the quaternary ammonium salt prepared by the reaction of vinylcaprolactam and vinylpyrrolidone with methylvinylimidazolium methosulfate commercially available under the trade designation Polyquaternium-46 or trade name "Luviquat Hold" from BASF (Parsippany, NJ, USA).

Suitable cationic copolymers comprising acrylic acid or derivatives thereof include the polymeric quaternary ammonium salt prepared by the reaction of ethylmethacrylate/abietylmethacrylate/diethylaminoethyl methacrylate copolymer with dimethyl sulfate commercially available under the trade designation Polyquaternium-12; the polymeric material; and the copolymer of acrylamide, acrylamidopropyltrimonium chloride, 2-amidopropylacrylamide sulfonate and DMAPA monomers commercially available under the trade designation Polyquaternium-43 or trade name "Bozequat 4000" from Societe Francaise Hoescht.

Other suitable cationic polymers useful herein are poly(oxy-1,2-ethanediyl)(dimethyliminio)-1,3-propanediylimino carbonyl-imino-1,2-propanediyl(-dimethyliminio)-1,2-ethanediyl dichloride known in the industry as Polyquaternium-2 and commercially available under the trade name Mirapol A-15 from Rhône-Poulenc; and  
 5 dihydroxypropyl chitosan trimonium chloride commercially available under the trade designation Polyquaternium-29 or trade name Kytamer KC from Amerchol.

Suitable ampholytic polymers for the compositions herein are ampholytic acrylic acid containing copolymers and include the copolymer of dimethyldiallyl ammonium chloride and acrylic acid commercially available under the trade designation Polyquaternium-22 or  
 10 trade name "Merquat 280" from Calgon (Pittsburg, PA, USA); acrylic acid/diallyl dimethyl ammonium chloride/acrylamide copolymers commercially available under the trade designation Polyquaternium-39 or trade name "Merquat Plus 3330" and "Merquat Plus 3331" from Calgon (Pittsburg, PA, USA) and the quaternary ammonium salt formed by the polymerisation of acrylic acid, methyl acrylate and  
 15 methacrylamidopropyltrimonium chloride commercially available under the trade designation Polyquaternium-47 or trade name "Merquat 2001N" from Calgon (Pittsburg, PA, USA).

Suitable amphoteric polymers for the compositions herein include combinations of tertiary amine monomers combined with anionic monomers and may further include non-  
 20 ionic monomers, for example, a methacrylamidopropyldimethyl ammonium/acrylic acid copolymer; and polymers derived from methacrylamidoethylcarboxymethylhydroxyethyl amine monomers as shown below:



Suitable basic polymers for the compositions herein include homopolymers of tertiary  
 25 amine derivatives and copolymers with non-ionic monomers. Examples of suitable materials include the polyvinylpyrrolidone/dimethylaminoethylmethacrylate copolymer prepared from vinylpyrrolidone and dimethylaminoethylmethacrylate monomers

commercially available under the trade designation PVP/Dimethylaminoethylmethacrylate copolymer or trade name "Copolymer 845/937/958" from ISP (Wayne, NJ, USA); and amine derived polymers such as ethyleneimine polymers which conform to the general formula  $(CH_2CH_2NH)_n$ ; such as PEI-10 where n has an average value of 10, commercially available under the trade name "Polymin FG" from BASF; PEI-15 where n has an average value of 15, commercially available under the trade name "Epomin SP-006" from Aceto; PEI-45 where n has an average value of 45, commercially available under the trade name "Epomin SP-018" from Aceto; or PEI-1400 where n has an average value of 1400, commercially available under the tradename "Nalco 634" from Nalco.

- 10 Suitable zwitterionic polymers for the compositions herein include polymers derived from a methacrylamidopropylbetaine monomer such as a copolymer of methacrylamidopropylbetaine and a non-ionic monomer. An example of such a polymer is a copolymer of vinylpyrrolidone/methacrylamidopropylbetaine.

- Preferred cation containing polymers of the present invention are cationic or ampholytic polymers. Preferred for use herein are cationic or ampholytic polymers selected from cationic polysaccharides or derivatives thereof and ampholytic acrylic acid containing copolymers, or mixtures thereof. Even more preferred are cation containing polymers selected from cationic cellulosic derivatives, cationic polysaccharide guar gum derivatives and acrylic acid/diallyl dimethyl ammonium chloride/acrylamide copolymers, or mixtures thereof. Most preferred cation containing polymers of the compositions of the present invention are selected from polyquaternium-10, guar hydroxypropyltrimonium chloride, hydroxypropyl guar gums and acrylic acid/diallyl dimethyl ammonium chloride/acrylamide copolymers or mixtures thereof.

- As an essential component of the present invention, the compositions herein have a weight ratio of cation containing polymer to vitamin B<sub>3</sub> compound of from 1:7 to 1:100, preferably from 1:8 to 1:70 and most preferably from 1:9 to 1:50.

Preferably, the compositions of the present invention comprise less than 4% of an anionic surfactant, zwitterionic or amphoteric surfactant, more preferably less than 2% and most preferably less than 1% of an anionic surfactant, zwitterionic or amphoteric surfactant.

In a preferred embodiment, when the compositions of the present invention comprise an anionic surfactant, the composition has a ratio of cation containing polymer to anionic surfactant of greater than 1.

In a further preferred embodiment, the compositions herein may comprise from about 0%  
5 to about 2%, and more preferably from about 0.01% to about 1% of a C<sub>8</sub> to C<sub>30</sub> fatty acid.

#### Diluent

In a preferred aspect of the present invention, the compositions herein comprise a dermatologically acceptable, hydrophilic diluent which may be any liquid in which the  
10 cation containing polymer and Vitamin B<sub>3</sub> compound is substantially soluble.

Suitable hydrophilic diluents include water, and polyhydric alcohols such as polyalkylene glycols and more preferably alkylene polyols and their derivatives, including propylene glycol, dipropylene glycol, polypropylene glycol, polyethylene glycol and derivatives thereof, sorbitol, hydroxypropyl sorbitol, erythritol, threitol, pentaerythritol, xylitol,  
15 glucitol, mannitol, hexylene glycol, butylene glycol (e.g., 1,3-butylene glycol), hexane triol (e.g., 1,2,6-hexanetriol), trimethylol propane, neopentyl glycol, glycerine, ethoxylated glycerine and propoxylated glycerine, or mixtures thereof.

When polyhydric alcohols are present, the diluent of the compositions of the present invention preferably comprise a total level of from about 3% to about 20%, more  
20 preferably from about 5% to about 15%, and especially from about 7% to about 12% by weight of the polyhydric alcohol, or mixtures thereof. Consequently, when the hydrophilic diluent of the compositions of the present invention comprises a mixture of water and polyhydric alcohol, in general, the water comprises from about 80% to about 97%, preferably from about 85% to about 95%, and more preferably from about 88% to  
25 about 93% by weight of the diluent component.

From the viewpoint of providing improved tack benefits, the weight ratio of the cation containing polymer to polyhydric alcohol is preferably in the range of from about 1:200 to about 1:1 and more preferably in the range of from about 1:100 to about 1:5.

Especially preferred diluents in compositions of the present invention are water, glycerine and mixtures thereof.

#### Oil Phase

Preferably the cosmetic compositions herein are in the form of an emulsion of one or more oil phases in an aqueous continuous phase, each oil phase comprises a single oily component or a mixture of oily components in miscible or homogeneous form. Different oil phases contain different materials or combinations of materials from each other. The total level of oil phase components in the compositions of the invention is typically from about 0.1% to about 60%, preferably from about 1% to about 30%, more preferably from about 1% to about 10% and most preferably from 2% to 10%.

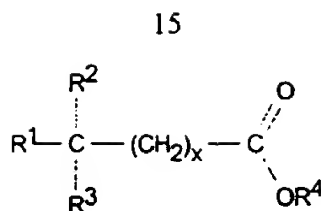
Preferably, the oil phase components of the compositions herein comprise an emollient material or mixtures thereof, a polyol carboxylic acid ester and a silicone oil, or mixtures thereof.

In preferred embodiments, the oil phase preferably comprises additional oily components such as a natural or synthetic oils selected from mineral, vegetable, and animal oils, fats and waxes, fatty acid esters, fatty alcohols, fatty acids and mixtures thereof. These oily components are present in an amount of from about 0.1% to about 15%, more preferably from about 1% to about 10% by weight of composition. Preferred for use herein are for example, saturated and unsaturated fatty alcohols such as behenyl alcohol, cetyl alcohol and stearyl alcohol and hydrocarbons such as mineral oils or petrolatum. Further examples suitable for use herein are disclosed in WO98/22085. Preferred embodiments herein comprise from about 0.1% to about 10% by weight of an unsaturated fatty acid or ester as described in WO98/22085.

#### Emollient materials

The compositions of the present invention can comprise emollient materials selected from branched chain hydrocarbons having an weight average molecular weight of from about 100 to about 15,000, preferably from about 100 to 1000; compounds of formula I:

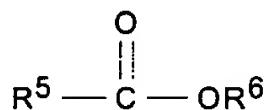




Formula I

wherein R<sup>1</sup> is selected from H or CH<sub>3</sub>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are independently selected from C<sub>1</sub>-C<sub>20</sub> straight chain or branched chain alkyl, and x is an integer of from 1-20; and

5 compounds having the formula (II):



Formula II

wherein R<sup>5</sup> is selected from optionally hydroxy or C<sub>1</sub>-C<sub>4</sub> alkyl substituted benzyl and R<sub>6</sub> is selected from C<sub>1</sub>-C<sub>20</sub> branched or straight chain alkyl; and mixtures thereof.

Suitable branched chain hydrocarbons for use herein are selected from isododecane, isohexadecane, isoeicosane, isooctahexacontane, isohexapentacontahectane, isopentacontaoctactane, and mixture thereof. Suitable for use herein are branched chain aliphatic hydrocarbons sold under the trade name Permethyl (RTM) and commercially available from Presperse Inc., P.O. Box 735, South Plainfield, N.J. 07080, U.S.A.

15 Suitable ester emollient materials of Formula I above include, but are not limited to, methyl isostearate, isopropyl isostearate, isostearyl neopentanoate, isononyl isononanoate, isodecyl octanoate, isodecyl isononanoate, tridecyl isononanoate, myristyl octanoate, octyl pelargonate, octyl isononanoate, myristyl myristate, myristyl neopentanoate, myristyl octanoate, myristyl propionate, isopropyl myristate and mixtures thereof.

20 Suitable ester emollient materials of Formula (II) include but are not limited to C<sub>12</sub>-15 alkyl benzoates.

Preferred emollients for use herein are isohexadecane, isooctadecane, isononyl isononanoate, isodecyl octanoate, isodecyl isononanoate, tridecyl isononanoate, myristyl octanoate, octyl isononanoate, myristyl myristate, methyl isostearate, isopropyl isostearate, C<sub>12</sub>-15 alkyl benzoates and mixtures thereof.

25

Particularly preferred emollients for use herein are isohexadecane, isononyl isononanoate, methyl isostearate, isopropyl isostearate, or mixtures thereof.

The emollient material is preferably present in the compositions at a level of from about 0.1% to about 10%, preferably from about 0.1% to about 8%, especially from about 0.5%  
5 to about 5% by weight of composition.

#### Polyol carboxylic acid ester

The compositions of the present invention may further comprise as an additional emollient, a polyol carboxylic acid ester.

The compositions of the present invention preferably comprise from about 0.01% to about  
10 20%, more preferably from about 0.1% to about 15%, and especially from about 0.1% to about 10% by weight of the polyol ester. The level of polyol ester by weight of the oil in the composition is preferably from about 1% to about 30%, more preferably from about 5% to about 20%. From the viewpoint of providing improved skin softness and smoothness benefits, the weight ratio of the carboxylic acid polyol ester to the  
15 aforementioned emollient materials is preferably in the range of from about 5:1 to about 1:5, more preferably in the range of from 2:1 to about 1:2.

The preferred polyol polyesters useful in this invention are C<sub>1</sub>-C<sub>30</sub> mono- and polyesters of sugars and related materials. These esters are derived from a sugar or polyol moiety and one or more carboxylic acid moieties. Depending on the constituent acid and  
20 sugar, these esters can be in either liquid or solid form at room temperature. Examples include: glucose tetraoleate, the galactose tetraesters of oleic acid, the sorbitol tetraoleate, sucrose tetraoleate, sucrose pentaoleate, sucrose hexaoleate, sucrose heptaoleate, sucrose octaoleate, sorbitol hexaester in which the carboxylic acid ester moieties are palmitoleate and arachidate in a 1:2 molar ratio, and the octaester of sucrose wherein the esterifying  
25 carboxylic acid moieties are laurate, linoleate and behenate in a 1:3:4 molar ratio. Other materials include cottonseed oil or soybean oil fatty acid esters of sucrose. Other examples of such materials are described in WO 96/16636, incorporated by reference herein. A particularly preferred material is known by the INCI name sucrose polycottonseedate.

### Silicone Oil

The present compositions preferably comprise, at least one silicone oil phase. Silicone oil phase(s) generally comprises from about 0.1% to about 20%, preferably from about 0.5% to about 10%, more preferably from about 0.5% to about 5%, of the composition. The, or  
5 each, silicone oil phase preferably comprises one or more silicone components.

Silicone components can be fluids, including straight chain, branched and cyclic silicones. Suitable silicone fluids useful herein include silicones inclusive of polyalkyl siloxane fluids, polyaryl siloxane fluids, cyclic and linear polyalkylsiloxanes, polyalkoxylated silicones, amino and quaternary ammonium modified silicones, polyalkylaryl siloxanes or  
10 a polyether siloxane copolymer and mixtures thereof. The silicone fluids can be volatile or non-volatile. Silicone fluids generally have a weight average molecular weight of less than about 200,000. Suitable silicone fluids have a molecular weight of about 100,000 or less, preferably about 50,000 or less, most preferably about 10,000 or less. Preferably the silicone fluid is selected from silicone fluids having a weight average molecular weight in  
15 the range from about 100 to about 50,000 and preferably from about 200 to about 40,000. Typically, silicone fluids have a viscosity ranging from about 0.65 to about 600,000  $\text{mm}^2 \cdot \text{s}^{-1}$ , preferably from about 0.65 to about 10,000  $\text{mm}^2 \cdot \text{s}^{-1}$  at 25°C. The viscosity can be measured by means of a glass capillary viscometer as set forth in Dow Corning Corporate Test Method CTM0004, July 29, 1970. Suitable polydimethyl siloxanes that  
20 can be used herein include those available, for example, from the General Electric Company as the SF and Viscasil (RTM) series and from Dow Corning as the Dow Corning 200 series. Also useful are essentially non-volatile polyalkylarylsiloxanes, for example, polymethylphenylsiloxanes, having viscosities of about 0.65 to 30,000  $\text{mm}^2 \cdot \text{s}^{-1}$  at 25°C. These siloxanes are available, for example, from the General Electric Company  
25 as SF 1075 methyl phenyl fluid or from Dow Corning as 556 Cosmetic Grade Fluid. Cyclic polydimethylsiloxanes suitable for use herein are those having a ring structure incorporating from about 3 to about 7  $(\text{CH}_3)_2\text{SiO}$  moieties.

In preferred embodiments, the silicone fluid is selected from dimethicone, decamethylcyclopentasiloxane, octamethylcyclotetrasiloxane, phenyl methicone, and  
30 mixtures thereof.

Silicone gums can also be used herein. The term "silicone gum" herein means high molecular weight silicones having a weight average molecular weight in excess of about 200,000 and preferably from about 200,000 to about 4,000,000. Included are non-volatile polyalkyl and polyaryl siloxane gums. In preferred embodiments, a silicone oil phase comprises a silicone gum or a mixture of silicones including the silicone gum. Typically, silicone gums have a viscosity at 25°C in excess of about 1,000,000 mm<sup>2</sup>s<sup>-1</sup>. The silicone gums include dimethicones as described by Petrarch and others including US-A-4,152,416, May 1, 1979 to Spitzer, et al, and Noll, Walter, Chemistry and Technology of Silicones, New York: Academic Press 1968. Also describing silicone gums are General Electric Silicone Rubber Product Data Sheets SE 30, SE 33, SE 54 and SE 76. Specific examples of silicone gums include polydimethylsiloxane, (polydimethylsiloxane)(methylvinylsiloxane) copolymer, poly(dimethylsiloxane)-(diphenyl)(methylvinylsiloxane) copolymer and mixtures thereof. Preferred silicone gums for use herein are silicone gums having a molecular weight of from about 200,000 to about 4,000,000 selected from dimethiconol, and dimethicone and mixtures thereof.

A silicone phase herein preferably comprises a silicone gum incorporated into the composition as part of a silicone gum-fluid blend. When the silicone gum is incorporated as part of a silicone gum-fluid blend, the silicone gum preferably constitutes from about 5% to about 40%, especially from about 10% to 20% by weight of the silicone gum-fluid blend. Suitable silicone gum-fluid blends herein are mixtures consisting essentially of:

- (i) a silicone having a molecular weight of from about 200,000 to about 4,000,000 selected from dimethiconol, fluorosilicone and dimethicone and mixtures thereof; and
- (ii) a carrier which is a silicone fluid, the carrier having a viscosity from about 0.65 mm<sup>2</sup>.s<sup>-1</sup> to about 100 mm<sup>2</sup>.s<sup>-1</sup>,

wherein the ratio of i) to ii) is from about 10:90 to about 20:80 and wherein said silicone gum-based component has a final viscosity of from about 100 mm<sup>2</sup>.s<sup>-1</sup> to about 100,000 mm<sup>2</sup>.s<sup>-1</sup>, preferably from 500 mm<sup>2</sup>.s<sup>-1</sup> to about 10,000 mm<sup>2</sup>.s<sup>-1</sup>.

An especially preferred silicone-gum fluid blend based component for use in the compositions herein is a dimethiconol gum having a molecular weight of from about 200,000 to about 4,000,000 along with a silicone fluid carrier with a viscosity of about 0.65 to 100 mm<sup>2</sup>.s<sup>-1</sup>. An example of this silicone component is Dow Corning Q2-1403  
5 (85% 5 mm<sup>2</sup>.s<sup>-1</sup> Dimethicone Fluid/15% Dimethiconol) and Dow Corning Q2-1401 available from Dow Corning.

Further silicone components suitable for use in a silicone oil phase herein are crosslinked polyorganosiloxane polymers, optionally dispersed in a fluid carrier. In general, when present the crosslinked polyorganosiloxane polymers, together with its carrier (if present)  
10 comprises 0.1% to about 20%, preferably from about 0.5% to about 10%, more preferably from about 0.5% to about 5% of the composition. Such polymers comprise polyorganosiloxane polymers crosslinked by a crosslinking agent. Suitable crosslinking agents are disclosed in WO98/22085. Examples of suitable polyorganosiloxane polymers for use herein include methyl vinyl dimethicone, methyl vinyl diphenyl dimethicone and  
15 methyl vinyl phenyl methyl diphenyl dimethicone.

Specific commercially available crosslinked polyorganosiloxane polymers for use herein are silicone vinyl crosspolymer mixtures available under the tradename KSG supplied by Shinetsu Chemical Co., Ltd, for example KSG-15, KSG-16, KSG-17, KSG-18. These materials contain a combination of crosslinked polyorganosiloxane polymer and silicone  
20 fluid. Particularly preferred for use herein especially in combination with the organic amphiphilic emulsifier material is KSG-18. The assigned INCI names for KSG-15, KSG-16, KSG-17 and KSG-18 are cyclomethicone dimethicone/vinyl dimethicone crosspolymer, dimethicone dimethicone/vinyl dimethicone crosspolymer, cyclomethicone dimethicone/vinyl dimethicone crosspolymer and phenyl trimethicone dimethicone/phenyl  
25 vinyl dimethicone crosspolymer, respectively.

Another class of silicone components suitable for use in a silicone oil phase herein includes polydiorganosiloxane-polyoxyalkylene copolymers containing at least one polydiorganosiloxane segment and at least one polyoxyalkylene segment. Suitable polydiorganosiloxane segments and copolymers thereof are disclosed in WO98/22085.  
30 Suitable polydiorganosiloxane-polyalkylene copolymers are available commercially under

the tradenames Belsil (RTM) from Wacker-Chemie GmbH, Geschäftsbereich S, Postfach D-8000 Munich 22 and Abil (RTM) from Th. Goldschmidt Ltd., Tego House, Victoria Road, Ruislip, Middlesex, HA4 0YL, for example Belsil (RTM) 6031 and Abil (RTM) B88183. A particularly preferred copolymer fluid blend for use herein includes Dow  
5 Corning DC3225C which has the CTFA designation Dimethicone/Dimethicone copolyol.

#### Amphiphilic Surfactant

A further preferred component of the compositions herein is an organic amphiphilic surfactant which is capable of forming smectic lyotropic crystals in product or when the product is being applied to the skin at ambient or elevated temperatures. The organic  
10 amphiphilic surfactant has been found to be especially valuable herein for improving the stability and skin feel of the compositions of the invention. Preferably the compositions herein comprise non-ionic amphiphilic surfactants at a level of from about 0.01 % to about 4%, preferably from about 0.05% to about 3%, and more preferably from about 0.08% to about 2%. Preferred classes of non-ionic amphiphilic surfactants suitable herein  
15 and their properties are disclosed in WO98/22085, incorporated herein by reference. Preferred herein are the mono-, di- and tri-acyl sugar esters and mixtures thereof wherein the acyl substituents contain from about 8 to about 24, preferably from about 8 to about 20 carbon atoms and 0,1 or 2 unsaturated moieties and polyethylene glycol derivatives, or mixtures thereof. High preferred herein is a fatty acid ester blend based on a mixture of  
20 sorbitan or sorbitol fatty acid ester and sucrose fatty acid ester, the fatty acid in each instance being preferably C<sub>8</sub>-C<sub>24</sub>, more preferably C<sub>10</sub>-C<sub>20</sub>. The preferred fatty acid ester emulsifier from the viewpoint of moisturisation is a blend of sorbitan or sorbitol C<sub>16</sub>-C<sub>20</sub> fatty acid ester with sucrose C<sub>10</sub>-C<sub>16</sub> fatty acid ester, especially sorbitan stearate and sucrose cocoate. This is commercially available from ICI under the trade  
25 name Arlatone 2121.

#### Humectants

The compositions of the present invention may comprise additional humectants which are preferably present at a level of from about 0.01% to about 20%, more preferably from about 0.1% to about 15% and especially from about 0.5% to about 15%.

Suitable additional humectants useful herein are sodium 2-pyrrolidone-5-carboxylate (NaPCA), guanidine; glycolic acid and glycolate salts (e.g. ammonium and quaternary alkyl ammonium); lactic acid and lactate salts (e.g. ammonium and quaternary alkyl ammonium); aloe vera in any of its variety of forms (e.g., aloe vera gel); hyaluronic acid and derivatives thereof (e.g., salt derivatives such as sodium hyaluronate); lactamide monoethanolamine; acetamide monoethanolamine; urea; panthenol and derivatives thereof; and mixtures thereof.

At least part (up to about 5% by weight of composition) of an additional humectant can be incorporated in the form of an admixture with a particulate cross-linked hydrophobic acrylate or methacrylate copolymer, itself preferably present in an amount of from about 0.1% to about 10%, which can be added either to the aqueous or disperse phase. This copolymer is particularly valuable for reducing shine and controlling oil while helping to provide effective moisturization benefits and is described in further detail by WO96/03964, incorporated herein by reference.

The above listed compounds may be incorporated singly or in combination. Preferred additional humectants are selected from urea, panthenol and mixtures thereof.

In preferred embodiments, the oil phase and organic amphiphilic surfactant when present are premixed in water at a temperature above the Kraft Point of the organic amphiphilic surfactant (but preferably below about 60°C) to form a liquid crystal/oil in water dispersion prior to addition of the urea. The urea is found to be especially effective herein in combination with the amphiphilic emulsifier surfactant and the polyol fatty acid polyester for providing outstanding skin moisturisation and softening in the context of an oil-in-water skin care emulsion composition.

A wide variety of optional ingredients such as thickening agents, non-occlusive moisturisers, neutralising agents, perfumes, colouring agents and surfactants, can also be added to the skin compositions herein.

#### Thickening Agents

The compositions of the present invention may comprise thickening agents.

In general, the compositions of the present invention may comprise from about 0.01% to about 10%, preferably from about 0.1% to about 8% and most preferably from about 0.5% to about 5% by weight of the composition of the thickening agent, or mixtures thereof.

When employing polymeric thickening agents in compositions herein, monovalent to  
5 multivalent metal ion levels should preferably less than 1%, more preferably less than 0.25% and even more preferably less than 0.05% so as not to unduly interfere with the stability of the polymers.

Preferred non-ionic thickening agents include polyacrylamide polymers, crosslinked poly(N-vinylpyrrolidones), polysaccharides, natural or synthetic gums,  
10 polyvinylpyrrolidone, and polyvinylalcohol. Preferred anionic thickening agents include acrylic acid/ethyl acrylate copolymers, carboxyvinyl polymers and crosslinked copolymers of alkyl vinyl ethers and maleic anhydride. Particularly preferred thickening agents for use herein are the non-ionic polyacrylamide polymers and acrylic acid/ethyl acrylate copolymers, or mixtures thereof. Even more particularly preferred for use herein are the  
15 non-ionic polyacrylamide polymers.

Polyacrylamide Polymers The non-ionic polyacrylamide polymers useful herein are substituted polyacrylamides, branched or unbranched. These polymers are non-ionic water dispersible polymers which can be formed from a variety of monomers including acrylamide and methacrylamide which are unsubstituted or substituted with one or two  
20 alkyl groups (preferably C<sub>1</sub>-C<sub>5</sub>). Preferred are acrylate amides and methacrylate amides in which the amide nitrogen is unsubstituted, or substituted with one or two C<sub>1</sub>-C<sub>5</sub> alkyl groups (preferably: methyl, ethyl or propyl), for example, acrylamide, methacrylamide, N-methacrylamide, N-methylmethacrylamide, N,N-dimethylmethacrylamide and N,N-dimethylacrylamide. These monomers are generally disclosed in US Pat. No. 4,963,348  
25 to Bolich, Jr. et al., issued Oct. 16., 1990, incorporated by reference herein. These copolymers may optionally be formed using conventional neutral crosslinking agents such as dialkenyl compounds. The use of such crosslinking agents for cationic polymers is disclosed in US Pat. No. 4,628,078 to Glover et al. issued Dec. 9, 1986 and US Pat. No. 4,599,379 to Flesher et al. issued Jul. 8, 1986 both of which are incorporated by reference  
30 herein. These non-ionic co-polymers have a molecular weight greater than about



1,000,000 preferably greater than about 1,500,000 and range up to about 30,000,000. Preferably as a result of being synthesised by reverse phase emulsion polymerisation, these non-ionic polyacrylamides are predispersed in a water-immiscible solvent such as mineral oil and the like, containing a high HLB surfactant (HLB from about 7 to about 10) which helps to facilitate water dispersibility of the polyacrylamide. Most preferred for use herein is the non-ionic polymer under the CTFA designation: polyacrylamide and isoparaffin and laureth-7, available under the trade name Sepigel 305 from Seppic Corporation.

Other polyacrylamide polymers useful herein include multi-block copolymers of acrylamides and substituted acrylamides with acrylic acids and substituted acrylic acids. Commercially available examples of these multi-block copolymers include Hypan SR150H, SS500V, SS500W, SSSA100H, from Lipo Chemicals, Inc., (Patterson, NJ).

Crosslinked poly(N-vinylpyrrolidones) Crosslinked polyvinyl(N-pyrrolidones) useful herein include those described in U.S. Patent No. 5,139,770, to Shih et al, issued August 18, 1992, and U.S. Patent No. 5,073,614, to Shih et al., issued December 17, 1991. These gelling agents typically contain from about 0.25% to about 1% by weight of a crosslinking agent selected from the group consisting of divinyl ethers and diallyl ethers of terminal diols containing from about 2 to about 12 carbon atoms, divinyl ethers and diallyl ethers of polyethylene glycols containing from about 2 to about 600 units, dienes having from about 6 to about 20 carbon atoms, divinyl benzene, vinyl and allyl ethers of pentaerythritol, and the like. Typically, these gelling agents have a viscosity from about 25,000 mPa.s (cps) to about 40,000 mPa.s (cps) when measured as a 5% aqueous solution at 25°C using a Brookfield RVT viscometer with Spindle #6 at 10 rpm. Commercially available examples of these polymers include ACP-1120, ACP-1179, and ACP-1180, available from International Speciality Products (Wayne, NJ).

Polysaccharides A wide variety of polysaccharides are suitable for use herein. By "polysaccharides" are meant gelling agents containing a backbone of repeating sugar (i.e. carbohydrate) units. Non-limiting examples of polysaccharide gelling agents include those selected from the group consisting of cellulose, carboxymethyl hydroxyethylcellulose, cellulose acetate propionate carboxylate, hydroxyethylcellulose,

hydroxyethyl ethylcellulose, hydroxypropylcellulose, hydroxypropyl methylcellulose, methyl hydroxyethylcellulose, microcrystalline cellulose, sodium cellulose sulfate, and mixtures thereof. Also useful herein are the alkyl substituted celluloses. In these polymers, the hydroxy groups of the cellulose polymer is hydroxyalkylated (preferably hydroxyethylated or hydroxypropylated) to form a hydroxyalkylated cellulose which is then further modified with a C10-C30 straight chain or branched chain alkyl group through an ether linkage. Typically these polymers are ethers of C10-C30 straight or branched chain alcohols with hydroxyalkylcelluloses. Examples of alkyl groups useful herein include those selected from the group consisting of stearyl, isostearyl, lauryl, myristyl, cetyl, isocetyl, cocoyl (i.e. alkyl groups derived from the alcohols of coconut oil), palmityl, oleyl, linoleyl, linolenyl, ricinoleyl, behenyl, and mixtures thereof. For those materials based on hydroxyethylcellulose, the hydroxyethyl molar substitution is greater than 3.0. Preferred among the alkyl hydroxyalkyl cellulose ethers is the material given the CTFA designation cetyl hydroxyethylcellulose, which is the ether of cetyl alcohol and hydroxyethylcellulose. This material is sold under the tradename Natrosol® CS Plus from Aqualon Corporation.

Other useful polysaccharides include scleroglucans comprising a linear chain of (1->3) linked glucose units with a (1->6) linked glucose every three units, a commercially available example of which is Clearogel™ CS11 from Michel Mercier Products Inc. (Mountainside, NJ).

Gums Other thickening agents useful herein include materials selected from acacia, agar, algin, alginic acid, ammonium alginate, amylopectin, calcium alginate, calcium carrageenan, carnitine, carrageenan, dextrin, gelatin, gellan gum, hectorite, hyaluronic acid, hydrated silica, hydroxypropyl chitosan, karaya gum, kelp, locust bean gum, natto gum, potassium alginate, potassium carrageenan, propylene glycol alginate, sclerotium gum, sodium carboxymethyl dextran, sodium carrageenan, tragacanth gum, xanthan gum, and mixtures thereof.

Also useful are acrylic acid/ethyl acrylate copolymers and the carboxyvinyl polymers sold by the B.F. Goodrich Company under the trade mark of Carbopol resins. Suitable Carbopol resins are described in WO98/22085.

Crosslinked copolymers of alkyl vinyl ethers and maleic anhydride In these copolymers the vinyl ethers are represented by the formula  $R-O-CH=CH_2$  wherein R is a C1-C6 alkyl group, preferably R is methyl. Preferred crosslinking agents are C4-C20 dienes, preferably C6 to C16 dienes, and most preferably C8 to C12 dienes. A particularly preferred copolymer is one formed from methyl vinyl ether and maleic anhydride wherein the copolymer has been crosslinked with decadiene, and wherein the polymer when diluted as a 0.5% aqueous solution at pH 7 at 25°C has a viscosity of 50,000-70,000 mPa.s (cps) when measured using a Brookfield RTV viscometer, spindle #7 at 10 rpm. This copolymer has the CTFA designation PVM/MA decadiene crosspolymer and is commercially available as Stabileze™ 06 from International Specialty Products (Wayne NJ).

Other thickening agents useful herein are water-soluble glyceryl poly(meth)acrylate lubricants (such as Hispagel®); polyglycerylmethacrylate lubricants available under the trademark Lubrajel (RTM) from Guardian Chemical Corporation, 230 Marcus Blvd., Hauppauge, N.Y. 11787, and mixtures thereof. In general, Lubrajels can be described as hydrates or clathrates which are formed by the reaction of sodium glycerate with a methacrylic acid polymer. Thereafter, the hydrate or clathrate is stabilized with a small amount of propylene glycol, followed by controlled hydration of the resulting product. Lubrajels are marketed in a number of grades of varying glycerate: polymer ratio and viscosity. Suitable Lubrajels include Lubrajel TW, Lubrajel CG and Lubrajel MS, Lubrajel WA, Lubrajel DV and so-called Lubrajel Oil.

Neutralizing agents suitable for use in neutralizing acidic group containing hydrophilic gelling agents herein include sodium hydroxide, potassium hydroxide, ammonium hydroxide, monoethanolamine, diethanolamine, amino methyl propanol, tris-buffer and triethanolamine.

The compositions of the invention are generally in emulsion form and are preferably formulated so as to have a product viscosity of at least about 4,000 mPa.s and preferably in the range from about 4,000 to about 300,000 mPa.s, more preferably from about 8,000 to about 250,000 mPa.s and especially from about 10,000 to about 200,000 mPa.s and

even more especially from about 10,000 to about 150,000 mPa.s (25°C, neat, Brookfield RVT, T-C Spindle at 5 rpms and Heliopath Stand).

The compositions of the invention can also contain from about 0.01% to about 10%, preferably from about 0.1% to about 5% of a panthenol moisturizer. The panthenol  
5 moisturizer can be selected from D-panthenol ([R]-2,4-dihydroxy-N-[3-hydroxypropyl])-3,3-dimethylbutamide), DL-panthenol, calcium pantothenate, royal jelly, panthetine, pantotheine, panthenyl ethyl ether, pangamic acid, pyridoxin, pantoil lactose and Vitamin B complex.

Other optional materials include keratolytic agents/desquamation agents such as salicylic  
10 acid; proteins and polypeptides and derivatives thereof; water-soluble or solubilizable preservatives preferably at a level of from about 0.1% to about 5%, such as Germall 115, methyl, ethyl, propyl and butyl esters of hydroxybenzoic acid, benzyl alcohol, EDTA, Euxyl (RTM) K400, Bromopol (2-bromo-2-nitropropane-1,3-diol) and phenoxypropanol; anti-bacterials such as Irgasan (RTM) and phenoxyethanol (preferably at levels of from  
15 0.1% to about 5%); soluble or colloiddally-soluble moisturising agents such as hylaronic acid and starch-grafted sodium polyacrylates such as Sanwet (RTM) IM-1000, IM-1500 and IM-2500 available from Celanese Superabsorbent Materials, Portsmouth, VA, USA and described in USA-A-4,076,663; vitamins such as vitamin A, vitamin C, vitamin E and derivatives thereof and vitamin K; alpha and beta hydroxyacids; aloe vera; sphingosines  
20 and phytosphingosines, cholesterol; skin whitening agents; N-acetyl cysteine; colouring agents; perfumes and perfume solubilizers.

Also useful herein are suncreening agents. A wide variety of suncreening agents are described in U.S. Patent No. 5,087,445, to Haffey et al., issued February 11, 1992; U.S. Patent No. 5,073,372, to Turner et al., issued December 17, 1991; U.S. Patent No.  
25 5,073,371, to Turner et al. issued December 17, 1991; and Segarin, et al., at Chapter VIII, pages 189 et seq., of Cosmetics Science and Technology. Preferred among those sunscreens which are useful in the compositions of the invention are those selected from 2-ethylhexyl p-methoxycinnamate, 2-ethylhexyl N,N-dimethyl-p-aminobenzoate, p-aminobenzoic acid, 2-phenylbenzimidazole-5-sulfonic acid, octocrylene, oxybenzone,  
30 homomenthyl salicylate, octyl salicylate, 4,4'-methoxy-t-butylidibenzoylmethane, 4-

isopropyl dibenzoylmethane, 3-benzylidene camphor, 3-(4-methylbenzylidene) camphor, titanium dioxide, zinc oxide, silica, iron oxide, Parsol MCX, Eusolex 6300, Octocrylene, Parsol T789, and mixtures thereof. Still other useful sunscreens are those disclosed in U.S. Patent No. 4,937,370, to Sabatelli, issued June 26, 1990; and U.S. Patent No. 4,999,186, to Sabatelli et al., issued March 12, 1991.

Generally, the sunscreens can comprise from about 0.5% to about 20% of the compositions useful herein. Exact amounts will vary depending upon the sunscreen chosen and the desired Sun Protection Factor (SPF). SPF is a commonly used measure of photoprotection of a sunscreen against erythema. See Federal Register, Vol. 43, No. 166, pp. 38206-38269, August 25, 1978.

The compositions of the present invention can additionally comprise from about 0.1% to about 5% by weight of aluminium starch octenylsuccinate. Aluminium starch octenylsuccinate is the aluminium salt of the reaction product of octenylsuccinic anhydride with starch and is commercially available under the trade name from Dry Flo National Starch & Chemical Ltd. Dry Flo is useful herein from the viewpoint of skin feel and application characteristics.

Other optional materials herein include pigments which, where water-insoluble, contribute to and are included in the total level of oil phase ingredients. Pigments suitable for use in the compositions of the present invention can be organic and/or inorganic. Also included within the term pigment are materials having a low colour or lustre such as matte finishing agents, and also light scattering agents. Preferably the compositions of the present invention comprise particulate materials having a refractive index of from about 1.3 to about 1.7, the particulate materials being dispersed in the composition and having a median particle size of from about 2 to about 30  $\mu\text{m}$ . Preferably the particulates useful herein have relatively narrow distributions, by which is meant that more than 50% of the particles fall within 3  $\mu\text{m}$  either side of the respective median value. Also preferred is that more than 50%, preferably more than 60%, more preferably more than 70% of particles fall within the size ranges prescribed for the respective median values. Suitable particulate materials are organic or organosilicone and preferably organosilicone polymers. Preferred particles are free-flowing, solid, materials. By "solid" is meant that

the particles are not hollow. The void at the centre of hollow particles can have an adverse effect on refractive index and therefore the visual effects of the particles on either skin or the composition. Suitable organic particulate materials include those made of polymethylsilsesquioxane, referenced above, polyamide, polythene, polyacrylonitrile, polyacrylic acid, polymethacrylic acid, polystyrene, polytetrafluoroethylene (PTFE) and poly(vinylidene chloride). Copolymers derived from monomers of the aforementioned materials can also be used. Inorganic materials include silica and boron nitride. Representative commercially available examples of useful particulate materials herein are Tospearl® 145 which has a median particle size of about 4.5 µm and EA-209® from Kobo which is an ethylene / acrylic acid copolymer having a median particle size of about 10 µm, or mixtures thereof.

Further examples of suitable pigments are titanium dioxide, predispersed titanium dioxide from Kobo e.g. Kobo GWL75CAP, iron oxides, acyglutamate iron oxides, ultramarine blue, D&C dyes, carmine, and mixtures thereof. Depending upon the type of composition, a mixture of pigments will normally be used. The preferred pigments for use herein from the viewpoint of moisturisation, skin feel, skin appearance and emulsion compatibility are treated pigments. The pigments can be treated with compounds such as amino acids, silicones, lecithin and ester oils.

#### Retinoids

The compositions of the present invention may also contain a retinoid. As used herein, "retinoid" includes all natural and/or synthetic analogs of Vitamin A or retinol-like compounds which possess the biological activity of Vitamin A in the skin as well as the geometric isomers and stereoisomers of these compounds. The retinoid is preferably retinol, retinol esters (e.g., C<sub>2</sub> - C<sub>22</sub> alkyl esters of retinol, including retinyl palmitate, retinyl acetate, retinyl propionate), retinal, and/or retinoic acid (including all-trans retinoic acid and/or 13-cis-retinoic acid), more preferably retinoids other than retinoic acid. These compounds are well known in the art and are commercially available from a number of sources, e.g., Sigma Chemical Company (St. Louis, MO), and Boehringer Mannheim (Indianapolis, IN). Preferred retinoids are retinol, retinyl palmitate, retinyl acetate, retinyl propionate, retinal and combinations thereof. More preferred are retinol

and retinyl palmitate. The retinoid may be included as the substantially pure material, or as an extract obtained by suitable physical and/or chemical isolation from natural (e.g., plant) sources.

- The compositions preferably contain from or about 0.005% to or about 2%, more preferably 0.01% to about 2% retinoid. Retinol is most preferably used in an amount of from or about 0.01% to or about 0.15%; retinol esters are most preferably used in an amount of from about 0.01% to about 2% (e.g., about 1%).

Further, the combination of vitamin B<sub>3</sub> compound with a retinoid may provide benefits in regulating skin condition, as described in WO98/22085, herein incorporated by reference.

- Suitably, the pH of the compositions herein is greater than 4.25, preferably greater than 4.5 and more preferably greater than 4.75, also preferably less than 9, more preferably less than 8 and even more preferably less than 7. The water content of the compositions herein is generally from about 30% to about 98.89%, preferably from about 50% to about 95% and especially from about 60% to about 93% by weight.
- The compositions of the invention are preferably in the form of a moisturising cream or lotion, which can be applied to the skin as a leave-on product. The invention is illustrated by the following examples.

#### Examples I to VI

<u>Ingredient</u>	<u>%</u> <u>w/w</u>	<u>%</u> <u>w/w</u>	<u>%</u> <u>w/w</u>	<u>%</u> <u>w/w</u>	<u>%</u> <u>w/w</u>	<u>%</u> <u>w/w</u>
Glycerine	7.00	7.00	9.00	12.00	15.00	-
Polyethylene glycol 200 <sup>1</sup>	-	3.00	-	-	-	10.00
Urea	-	2.20	1.80	-	-	-
Kronos (TiO <sub>2</sub> ) <sup>2</sup>	-	0.15	0.15	-	0.15	0.15
Kobo GWL75CAP <sup>3</sup>	0.50	-	0.20	0.30	-	-
Tospearl 145a <sup>4</sup>	-	-	0.50	2.00	-	-
Arlatone 2121 <sup>5</sup>	1.00	1.00	1.00	1.00	1.00	1.00

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Sepigel 305 <sup>6</sup>	2.50	1.50	3.00	3.00	2.00	3.00
Sodium Hydroxide (40% soln.)	0.03	0.04	0.05	0.08	0.10	0.10
Hydrofol Acid	0.09	0.10	0.12	0.13	0.10	0.10
Myrj 59 <sup>7</sup>	0.10	0.10	0.10	0.10	0.10	0.10
Stearyl Alcohol	0.38	0.40	0.32	0.48	0.80	1.2
Cetyl Alcohol	0.80	1.00	0.72	0.72	1.80	0.80
Isopropyl Isostearate <sup>8</sup>	1.50	0.75	1.50	1.00	0.5	2.00
SEFA Cottonate <sup>9</sup>	1.00	1.50	0.75	1.80	-	-
Isohexadecane	1.00	-	-	-	0.50	1.00
Petrolatum	-	-	-	-	1.20	0.50
Ethyl paraben	0.10	0.15	0.15	0.20	0.20	0.25
Propyl paraben	0.15	0.20	0.25	0.30	0.25	0.25
Benzyl alcohol	0.15	0.20	0.20	0.25	0.25	0.30
D-Panthenol	0.50	0.50	-	-	0.50	1.00
Niacinamide	2.00	5.00	8.00	10.0	10.0	12.0
Di-sodium EDTA	0.10	0.10	0.10	0.10	0.10	0.10
DC Q2-1403 <sup>10</sup>	1.60	1.60	1.80	1.50	2.00	2.50
Tocopherol acetate	0.50	0.25	0.25	0.75	0.50	-
Polyquaternium 39 <sup>13</sup>	-	-	0.25	0.15	0.30	-
Polyquaternium 10 <sup>14</sup>	0.25	0.25	-	0.20		0.35
Deionised Water	to	to	to	to	to	to
	100	100	100	100	100	100

1. Supplied by Union Carbide Corporation, Danbury, Conn., USA

2. Supplied by Kronos, 4 Place Ville Marie # 500, Montreal, Quebec, Canada

3. Supplied by Kobo Products Inc, 690 Montrose Ave, So Plainfield, NJ 07080

4. Supplied by GE Silicones, Plasticlaan 1/PO Box 117, 4600 AC Bergen op Zoom,

5 Netherlands



5. Supplied by ICI Surfactants, PO Box 90, Wilton Centre, Middlesborough, Cleveland, England. TS6 8JE
6. Supplied by Seppic, 75 Quai D'Orsay, Paris
7. PEG 100 Stearate supplied by ICI, PO Box 90, Wilton Centre, Middlesborough,  
5 Cleveland, England. TS6 8JE
8. Supplied by Scher Chemicals Inc, Industrial West, Clifton, NJ 07012
9. A C1-C30 monoester or polyester of sugars and one or more carboxylic acid moieties as described herein, preferably a sucrose polyester in which the degree of esterification is 7-8, and in which the fatty acid moieties are C18 mono- and/or di-unsaturated and  
10 behenic, in a molar ratio of unsaturates:behenic of 1:7 to 3:5, more preferably the octaester of sucrose in which there are about 7 behenic fatty acid moieties and about 1 oleic acid moiety in the molecule, e.g., sucrose ester of cottonseed oil fatty acids.
10. Supplied by Dow Corning, Kings Court, 185 Kinds Rd, Reading, Berks, RG1 4EX
11. Supplied by Union Carbide Corporation, Danbury, Conn., USA
- 15 12. Supplied by Aqualon (Hercules Inc), Noordweg 9, 3336 LH Zwinjndrecht, P.O Box 71, 3330 AB Zwijndrecht, The Netherlands
13. Supplied by Calgon Corporation, 43 Hawthorne Av, NJ 07506
14. Supplied by Union Carbide Corporation, Danbury, Conn., USA

The compositions are made as follows:

- 20 A first premix of anionic thickening agents (if present), glycerine/TiO<sub>2</sub> premix, cation containing polymer, Arlatone 2121 when present, and other water soluble ingredients apart from urea, is prepared by admixing in water and heating to about 80°C. A second premix of the oil phase ingredients including the emulsifiers, oil-soluble preservatives, other than silicone oil is prepared by mixing and heating and is added to the aqueous  
25 premix.

If polymeric anionic thickener is present, sodium hydroxide is then added to neutralise to pH 6-7.5 before shearing and cooling to about 60°C. In the presence of polymeric non-

ionic thickeners, the process is as described above but the polymeric thickener e.g. Sepigel 305 (polyacrylamide) is not added until the mixture is cooled to 60°C. The Sepigel 305 is added under shear and then the NaOH solution added. In both cases, EDTA, silicone oil, a niacinamide/water premix (3g of water/1g of niacinamide) and then  
5 urea solution (1g dissolved in 1ml of water) are then added to the resulting oil-in-water emulsion and the mixture is cooled before adding minor ingredients. The composition is ready for packaging.

The compositions display low levels of tack, irritation and skin whitening effects.

## WHAT IS CLAIMED IS:

1. A leave-on cosmetic composition suitable for topical application to the skin comprising:
  - a) from about 1% to about 20% of a Vitamin B<sub>3</sub> compound, or mixtures thereof and;
  - b) a cation containing polymer selected from ampholytic, amphoteric, basic, zwitterionic and cationic polymers or mixtures thereof, wherein the cationic polymers are selected from cationic polysaccharides or derivatives thereof, cationic homo or copolymers of dimethyldiallylammonium chloride, cationic copolymers comprising vinylpyrrolidone; cationic copolymers comprising acrylic acid or derivatives thereof, N,N,N-trimethyl-2-[(2-methyl-1-oxo-2-propenyl)oxy]-,chloride, Polyquaternium-2, quaternised chitosan, or mixtures thereof;wherein said composition has a weight ratio of cation containing polymer to Vitamin B<sub>3</sub> compound of from 1:7 to 1:100.
2. A composition according to Claim 1 wherein the cation containing polymer is an ampholytic polymer, or mixtures thereof.
3. A composition according to any of Claims 1 to 2 wherein the cation containing polymer is an ampholytic acrylic acid containing copolymer.
4. A composition according to any of Claims 1 to 3 wherein the cation containing polymer is a cationic polysaccharide or derivative thereof.
5. A composition according to any of Claims 1 to 4 wherein the cation containing polymer is selected from cationic cellulosic derivatives, cationic polysaccharide guar gum derivatives and acrylic acid/diallyl dimethyl ammonium chloride/acrylamide copolymers, or mixtures thereof.
6. A composition according to any of Claims 1 to 5 comprising from about 0.01% to about 20%, preferably from about 0.05% to about 5%, more preferably from about 0.1% to about 1% by weight of the cation containing polymer, or mixtures thereof.

7. A composition according to any of Claims 1 to 6 wherein the weight ratio of cation containing polymer to Vitamin B<sub>3</sub> compound is from 1:8 to 1:50.
8. A composition according to any of Claims 1 to 7 wherein the Vitamin B<sub>3</sub> compound is niacinamide.
9. A composition according to any of Claims 1 to 8 further comprising from about 3% to about 20% of a polyhydric alcohol, or mixtures thereof.
10. A composition according to any of Claims 1 to 9 wherein the composition comprises less than 4% of an anionic, zwitterionic or amphoteric surfactant.
11. A cosmetic method of treatment of the skin comprising applying to the skin a composition according to any of Claims 1 to 10.
12. Use of a cation containing polymer, or mixtures thereof, for reducing levels of tack, irritation or skin whitening in a skin care composition comprising a Vitamin B<sub>3</sub> compound, or mixtures thereof.
13. Use of a composition comprising a Vitamin B<sub>3</sub> compound, or mixtures thereof and a cation containing polymer, or mixtures thereof wherein said composition has a weight ratio of cation containing polymer to Vitamin B<sub>3</sub> compound of from 1:7 to 1:100 for a leave-on skin care application.

# INTERNATIONAL SEARCH REPORT

International Application No.

PCT/US 00/04084

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 A61K7/48

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

CHEM ABS Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EP 0 371 844 A (L'OREAL) 6 June 1990 (1990-06-06) claims 1-5; example 3	1-11,13
X	US 5 523 078 A (M. BAYLIN) 4 June 1996 (1996-06-04) the whole document	1-11,13
A	FR 2 719 215 A (LABORATOIRES DE BIOLOGIE VEGETALE YVES ROCHER) 3 November 1995 (1995-11-03) claims 1,6,8; example 3	1

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

### \* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

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# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 00/04084

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FR 2719215 A	03-11-1995	NONE	